

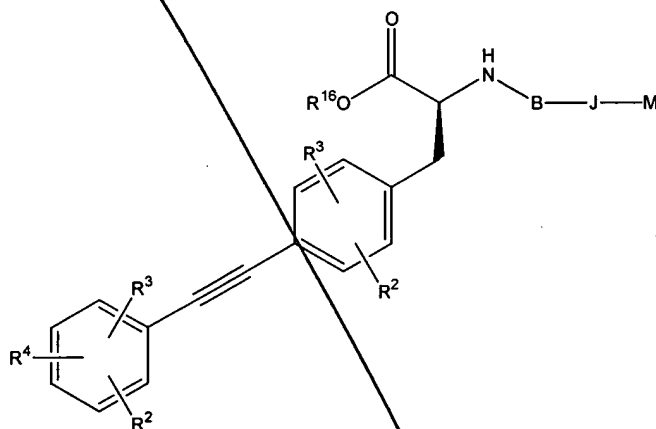
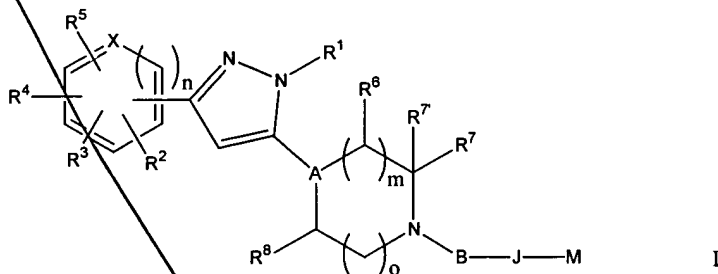
AMENDMENTS

In the Specification:

Amend the paragraphs commencing on Page 3, line 1, through page 5, line 25, as follows:

SUMMARY OF THE INVENTION

In a first aspect, this invention is compounds of formula I or formula I'



where:

m is an integer selected from 0, 1, and 2;

n and o are integers independently selected from 0 and 1;

A is selected from the group consisting of N and CH;

B is selected from the group consisting of -CH₂-CH₂-, -CH₂-CH₂-CH₂-, -CH₂-CH₂-NH-, -CH₂-O-CH₂-, -CH₂-S-CH₂-, -C(=O)-NH-, -C(=O)-CH₂-, -CH₂-C(=O)-NH-, -C(=O)-CH₂-C(=O)-, -C(=O)-NH-CH₂-, -C(=O)-, -S(=O)-, -S(=O)₂-, -S(=O)-NH-, -S(=O)₂-NH-, -S(=O)-CH₂-, -S(=O)₂-CH₂-, -S(=O)-CH₂-NH-, -S(=O)₂-CH₂-NH-, -S(=O)₂-NH-CH₂-, -CH₂-S(=O)₂-NH-, -C(=O)-NH-S(=O)₂-, -S(=O)₂-NH-C(=O)-,

~~-C(=O)-CH₂-S(=O)₂-, and -S(=O)₂-CH₂-C(=O)-;~~

~~J is absent or selected from the group consisting of -O-, -S-, -CHR¹⁵-O-, -CH₂-CHR¹⁵-O-, -NH-, -NH-CHR¹⁵-, -NH-CHR¹⁵-C(=O)-, -C(=O)-, -CH₂-, -CHR¹⁵-CH₂-NH-, -C(=O)-CHR¹⁵-, -NH-C(=O)-CH(C₁-C₆alkyl)-, -NH-C(=O)-CH(C₃-C₁₂cycloalkyl)-, -CH₂-CH₂-, -CH₂NH-, -CH₂-NH-C(=O)-, -CH₂-NH-C(=O)-C₁-C₆alkyl-, -CH₂-NH-C(=O)-CH(C₃-C₁₂cycloalkyl)- and -C(=O)-CHR¹⁵-NH-;~~

~~L is selected from the group consisting of -O-, -CH₂-O-, -O-CH₂-, -CH₂-CH₂-O-, -O-CH₂-CH₂-, -CH₂-O-CH₂-, -CH₂-S-CH₂-, -C(=O)-NH-, -O-C(=O)-NH-, -CH₂-C(=O)-NH-, -C(=O)-CH₂-NH-, -C(=O)-NH-CH₂-, -NH-C(=O)-, NH-C(=O)-O-, -NH-CH₂-C(=O)-, -NH-C(=O)-CH₂-, -CH₂-NH-C(=O)-, -NH-C(=O)-NH-, -NH-S(=O)₂-NH-, -NH-S(=O)₂-, -NH-S(=O)₂-CH₂-, -CH₂-NH-S(=O)₂-, -S(=O)₂-NH-, -S(=O)₂-NH-CH₂-, -CH₂-S(=O)₂-NH-, -C(=O)-NH-S(=O)₂-, -S(=O)₂-NH-C(=O)-, -CH₂-NH-, -CH₂-CH₂-NH-, -NH-CH₂-, -NH-CH₂-CH₂-, -CH₂-NH-CH₂-, -C≡C-, -CH₂-C≡C-, -CH₂-CH₂-, -CH₂-CH₂-CH₂-, -CH₂-CH=CH-, CH=CH-CH₂-, and -CH=CH-;~~

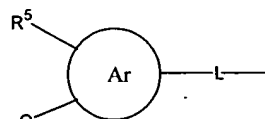
~~M is selected from the group consisting of R⁹ and an optionally substituted group selected from phenyl, naphthyl, C₃-C₇-cycloalkyl, and heterocyclyl, the heterocyclyl group being aliphatic, partially unsaturated, or aromatic, and containing 1 or 2 rings each containing 5-7 ring atoms of which 0-3 are hetero atoms selected from N, O and S, provided that at least one ring contains a heteroatom and where any ring carbon or sulfur may optionally be oxidized, the optional substituents being up to three groups selected from R¹, R² and R⁹;~~

~~Q is selected from the group consisting of -C(=O)OR¹⁶-, -C(=O)-NH-C(=O)-CF₃-, -C(=O)-NH-S(=O)₂-R²-, -C(=O)-NR¹-OH, 5-oxo-4,5-dihydro[1,2,4]oxadiazol-3-yl, and tetrazolyl;~~

~~X is A when n is 1, and is CH, N, O or S when n is 0;~~

~~R¹ is selected from the group consisting of hydrogen, (C₁-C₆)alkyl, halo-(C₁-C₆)alkyl, and (C₃-C₆)cycloalkyl;~~

~~R², R³ and R⁵ are individually selected from the group consisting of hydrogen, cyano, nitro, phenyl, phenoxy, benzyl, C₁-C₆alkyl, halo, halo-C₁-C₆alkyl, C₃-C₆cycloalkyl, C₁-C₆alkoxy, hydroxy, C₁-C₂alkoxy-methoxy, hydroxy-C₁-C₆alkyl, formyl, C₁-C₆alkylcarbonyl, amino, C₁-C₆alkylamino, aminocarbonyl, C₁-C₆alkylaminocarbonyl, formylamino, and C₁-C₆alkylcarbonylamino, where any alkyl or phenyl may optionally substituted with halo or Q;~~



R^4 is selected from the group consisting of R^2 and α where Ar is a homo- or hetero-aryl group having 1 or 2 rings, each ring containing 5, 6 or 7 ring atoms of which 1-3 may be heteroatoms selected from N, O and S;

R^6 is selected from the group consisting of hydrogen, C_1 - C_6 alkyl, halo, halo- C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy- C_1 - C_6 alkyl, hydroxy, hydroxy- C_1 - C_6 alkyl, $HC(=O)$ - C_1 - C_6 alkyl, carboxy, carboxy- C_1 - C_6 alkyl, carbonylamino- C_1 - C_6 alkyl, aminocarbonyl, (C_1 - C_6 alkyl)aminocarbonyl, di(C_1 - C_6 alkyl)aminocarbonyl, and aminocarbonyl- C_1 - C_6 alkyl; [or]

R^7 is selected from the group consisting of hydrogen, C_1 - C_6 alkyl, halo, halo- C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy- C_1 - C_6 alkyl, hydroxy, hydroxy- C_1 - C_6 alkyl, $HC(=O)$ - C_1 - C_6 alkyl, carboxy, carboxy- C_1 - C_6 alkyl, carbonylamino- C_1 - C_6 alkyl, aminocarbonyl, (C_1 - C_6 alkyl)aminocarbonyl, di(C_1 - C_6 alkyl)aminocarbonyl, and aminocarbonyl- C_1 - C_6 alkyl;

$R^{7'}$ is hydrogen; or

R^7 and $R^{7'}$ together with the carbon to which they are bonded form $-C(=O)-$;

R^8 is selected from the group consisting of hydrogen, hydroxy, C_1 - C_6 alkoxy, C_1 - C_6 alkyl, halo, halo- C_1 - C_6 alkyl, and C_3 - C_6 cycloalkyl;

R^9 is selected from the group consisting of $-NR^{10}R^{11}$, $-C(=NR^{12})-NHR^{13}$, $-N=CR^{14}-NR^{10}R^{11}$, $-NR^{13}-CR^{14}=NR^{12}$, and $-NR^{13}-C(=NR^{12})-NHR^{13}$;

R^{10} , R^{11} , R^{12} , R^{13} and R^{14} are independently selected from the group consisting of hydrogen, hydroxy, hydroxy- C_1 - C_6 alkyl, C_1 - C_6 alkyl, halo- C_1 - C_6 alkyl, C_1 - C_6 alkoxy, C_1 - C_6 alkoxy- C_1 - C_6 alkyl, and C_3 - C_7 cycloalkyl; or any member of the group R^{10} , R^{11} , R^{12} , R^{13} , and R^{14} together with the nitrogen to which it is attached forms a 5, 6 or 7 member heterocycle with any other member of the group, the heterocycle optionally containing one additional heteroatom selected from N, O and S;

R^{15} is selected from the group consisting of hydrogen, C_1 - C_{12} alkyl, C_3 - C_7 cycloalkyl, aminocarbonyl, C_1 - C_6 alkylaminocarbonyl, and di(C_1 - C_6 alkyl)aminocarbonyl; and

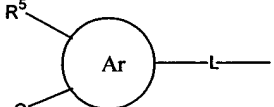
R^{16} is selected from the group consisting of hydrogen, C_1 - C_6 alkyl, C_3 - C_{13} cycloalkyl, C_6 - C_{10} aryl, acetylamino- C_1 - C_{12} alkyl, C_1 - C_6 alkylcarbonyloxy- C_1 - C_6 alkyl, and C_6 - C_{10} aryl- C_0 - C_6 alkylcarbonyloxy- C_1 - C_6 alkyl, or a pharmaceutically acceptable salt thereof;

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provided that the compound is not N-[2-[1-(aminoiminomethyl)-3-piperidinyl]-1-oxoethyl]-4-phenylethynyl-phenylalanine methyl ester or a pharmaceutically acceptable salt thereof.

Amend the paragraphs commencing on Page 9, line 8, through page 10, line 4, as follows:

Presently preferred classes of compounds of this invention include those where:

- (1) R^1 is hydrogen or (C_1 - C_6 alkyl), especially methyl;
- (2) R^2 and R^3 are hydrogen, C_1 - C_6 alkyl, cyano, or halo (especially chloro), and more preferably one or both are chloro;

- Ar
- (3) R^4 is , especially where one or more of the following preferences applies: Ar is selected from the group consisting of phenyl, furyl, thienyl, oxazolyl, thiazolyl, and pyrrolyl; R^5 is hydroxy, C_1 - C_2 alkoxy-methoxy or C_1 - C_3 -alkoxy; Q is a negatively charged species such as carboxy (or a prodrug thereof) or tetrazolyl; and L is -O-, - CH_2 -O-, -O- CH_2 -, or - CH_2 - CH_2 -O-;
- (4) B is -C(=O)- or -S(=O)₂-;
 - (5) J is - CH_2 -, - CH_2 - CH_2 -, -NH-, -NH- CH_2 -, - CH_2 -NH-, - CH_2 -NH-C(=O)-, - CH_2 -NH-C(=O)- C_1 - C_6 alkyl- and - CH_2 -NH-C(=O)-CH(C_3 - C_{12} cycloalkyl)-;
 - (6) B-J combinations are -C(=O)- CH_2 -NH-C(=O)-CH(C_1 - C_6 alkyl)-, -C(=O)- CH_2 -NH-C(=O)-CH(C_3 - C_{12} cycloalkyl)-, -C(=O)-NH-(C_2 - C_6 alkyl)-, -S(=O)₂-NH-(C_2 - C_6 alkyl)-, -C(=O)-NH-, -S(=O)₂-NH-, -C(=O)- CH_2 - and -S(=O)₂- CH_2 -;
 - (7) M is selected from the group consisting of R^9 ,

Replace the data on Page 10, lines 5-11, with the following data:

Sub
B2

AB

